

2/29

FIG. 2A

Human G Protein Coupled Receptor Family  
(Receptors known as of January, 1999)

CLASS	LIGAND	NUMBER	TISSUE	PHYSIOLOGY	THERAPEUTICS
•Class I					
Rhodopsin like					
•Amine					
•Acetylcholine					
•(muscarinic & nicotinic)		5	Brain, Nerves, Heart	Neurotransmitter	Acuity, Alzheimer's
•Adrenoceptors					
•Alpha Adrenoceptors		6	Brain, Kidney, Lung	Gluconeogenesis	Diabetes, Cardiovascular
•Beta Adrenoceptors		3	Kidney, Heart	Muscle Contraction	Cardiovascular, Respiratory
•Dopamine		5	Brain, Kidney, GI	Neurotransmitter	Cardiovascular, Parkinson's
•Histamine		2	Vascular, Heart, Brain	Vascular Permeability	Anti-inflammatory, Ulcers
•Serotonin (5-HT)		16	Most Tissues	Neurotransmitter	Depression, Insomnia, Analgesic
•Peptide					
•Angiotensin		2	Vascular, Liver, Kidney	Vasoconstriction	Cardiovascular, Endocrine
•Bradykinin		1	Liver, Blood	Vasodilation,	Anti-inflammatory, Asthma
•C5a-anaphylatoxin		1	Blood	Immune System	Anti-inflammatory
•Fmet-leu-phe		3	Blood	Chemoattractant	Anti-inflammatory
•Interleukin-8		1	Blood	Chemoattractant	Anti-inflammatory
•Chemokine		6	Blood	Chemoattractant	Anti-inflammatory
•Orexin		2	Brain	Fat Metabolism	Obesity
•Nociceptin		1	Brain	Bronchodilator, Pain	Airway Diseases, Anesthetic
•CCK (Gastrin)		2	Gastrointestinal	Motility, Fat Absorption	Gastrointestinal, Obesity, Parkinson's
•Endothelin		2	Heart, Bronchus, Brain	Muscle Contraction	Cardiovascular, Respiratory
•Melanocortin		5	Kidney, Brain	Metabolic Regulation	Anti-inflammatory, Analgesics
•Neuropeptide Y		5	Nerves, Intestine, Blood	Neurotransmitter	Behavior, Memory, Cardiovascular
•Neurotensin		1	Brain,	CNS	Cardiovascular, Analgesic
•Opioid		3	Brain,	CNS	Depression, Analgesic
•Somatostatin		5	Brain, Gastrointestinal	Neurotransmitter	Oncology, Alzheimer's

3/29

FIG. 2B

•Tachykinin (Substance P, NKA <sub>1</sub> )	3	Brain Nerves	Neurohormone	Depression, Analgesic
•Thrombin	3	Platelets, Blood Vessels	Coagulation	Anti-coagulant, Anti-inflammatory
•Vasopressin-like	4	Arteries, Heart, Bladder	Water Balance	Anti-diuretic, Diabetic Complications
•Galanin	1	Brain, Pancreas	Neurotransmitter	Analgesics, Alzheimer's
•Hormone protein				
•Follicle stimulating hormone	1	Ovary, Testis	Endocrine	Infertility
•Lutropin-choriogonadotropic	1	Ovary, Testis	Endocrine	Infertility
•Thyrotropin	1	Thyroid	Endocrine	Thyroidism, Metabolism
•(Rhodopsin				
•Opsin	5	Eye	Photoreception	Ophthalmic Diseases
•Olfactory	4(~1000)	Nose	Smell	Olfactory Diseases
•Prostanoid				
•Prostaglandin	5	Arterial, Gastrointestinal	Vasodilation, Pain	Cardiovascular, Analgesic
•Lysophosphatidic Acid	2	Vessels, Heart, Lung	Inflammation	Cancer, Anti-inflammatory
•Sphingosine-1-phosphate	2	Most Cells	Cell proliferation	Cancer
•Leukotriene	1	White Blood Cells,		
		Bronchus	Inflammation	Asthma, Rheumatoid Arthritis
•Prostacyclin	1	Arterial, Gastrointestinal	Platelet Regulation	Cardiovascular
•Thromboxane	1	Arterial, Bronchus	Vasoconstriction	Cardiovascular, Respiratory
•Nucleotide-like				
•Adenosine	4	Vascular, Bronchus	Multiple Effects	Cardiovascular, Respiratory
•Purinceptors	4	Vascular, Platelets	Relaxes Muscle	Cardiovascular, Respiratory
•Cannabis 2	Brain	Sensory Perception	Analgesics, Memory	
•Platelet activating factor	1	Most Peripheral Tissues	Inflammation	Anti-inflammatory, Anti-asthmatic
•Gonadotropin-releasing hormone like				
•Gonadotropin-releasing hormone	1	Reproductive Organs, Pituitary	Reproduction	Prostate Cancer, Endometriosis
•Thyrotropin-releasing hormone	1	Pituitary, Brain	Thyroid Regulation	Metabolic Regulation
•Growth hormone-inhibiting factor	1	Gastrointestinal	Neuroendocrine	Oncology, Alzheimer's
•Melatonin	1	Brain, Eye, Pituitary	Neuroendocrine	Regulation of Circadian Cycle

4/29

FIG. 2C

<b>•Class II</b>			
Secretin like			
•Secretin	1	Gastrointestinal, Heart	Obesity, Gastrointestinal
•Calcitonin	1	Bone, Brain	Osteoporosis
•Corticotropin releasing factor/urocortin	1	Adrenal, Vascular, Brain	Stress, Mood, Obesity
•Gastric inhibitory peptide (GIP)	1	Adrenals, Fat Cells	Diabetes, Obesity
•Glucagon 1	1	Liver, Fat Cells, Heart	Cardiovascular
•Glucagon-like Peptide 1 (GLP-1)	1	Pancreas, Stomach, Lung	Cardiovascular, Diabetes, Obesity
•Growth hormone-releasing hormone	1	Brain	Growth Regulation
•Parathyroid hormone	1	Bone, Kidney	Osteoporosis
•PACAP	1	Brain, Pancreas, Adrenals	Metabolic Regulation
•Vasoactive intestinal polypeptide (VIP)	1	Gastrointestinal	Gastrointestinal
<b>•Class III</b>			
•Metabotropic Glutamate	7		
•GABA <sub>B</sub>	1	Brain	Hearing, Vision
•Extracellular Calcium Sensing	1	Brain	Mood Disorders
	1	Parathyroid, Kidney, GI Tract	Cataracts, GI Tumors

# REPLACEMENT SHEET

5/29

FIG 3A

## G protein-coupled receptors:

(Division into Class A

Or Class B)

1. **A1 adenosine receptor [Homo sapiens].** ACCESSION AAB25533  
NPIVYAF RIQKFRVTFL KIWNDFRCQ PAPPIDEDLP EERPDD  
Class A (SEQ ID NO: 1)
2. **adrenergic, alpha -1B-, receptor [Homo sapiens].** ACCESSION NP\_000670  
npiitypc sskcfkrafv rilgcqcrgr gnmrmrr lggcaytyrp wrggalers qarcdsldds gscslgsqrt lpsaspspgy  
lgrgapppe lcafpewkap gallslpape ppgrgrhds gplftfklit epespqtdgg asnggceaaa dvangqpgfk  
snmplapggqf  
Class A (SEQ ID NO: 2)
3. **adrenergic receptor alpha-2A [Homo sapiens].** ACCESSION AAG00447  
npviytifn hdfirafkkl lergdrkriv  
Class A (SEQ ID NO: 3)
4. **alpha-2B-adrenergic receptor - human.** ACCESSION A37223  
npviytifn qdfirafri lcrpwtqtaw  
Class A (SEQ ID NO: 4)
5. **alpha-2C-adrenergic receptor - human.** ACCESSION A31237  
npviytvfn qdfirafkkl lcrpwtqtaw  
Class A (SEQ ID NO: 5)
6. **beta-1-adrenergic receptor [Homo sapiens].** ACCESSION NP\_000675  
npiiyrcs pdrfakfql lccarraar rhathgdrpr asgolarpgp ppsgaasdd ddddvvgatp parilepwag  
cnggaasdd ssldpcrpg faseskv  
Class A (SEQ ID NO: 6)
7. **beta-2 adrenergic receptor.** ACCESSION P07550  
npiiyrcs pdrfakfql lccarraar rhathgdrpr asgolarpgp ppsgaasdd ddddvvgatp parilepwag  
sqgrncatnd sll  
Class A (SEQ ID NO: 7)
8. **dopamine receptor D1 [Homo sapiens].** ACCESSION NP\_000785  
npii yafnadfrka fstilgcyrl cpatnnalet vsinnngaam fsshheprgs iskecnlvyl iphavgsedd lkkeaaagia  
rpleklspal svldydtiv slekqpitq ngqbpt  
Class A (SEQ ID NO: 8)
9. **D(2) dopamine receptor.** ACCESSION P14416  
npiiyttfn icfrakfkl lhc  
Class A (SEQ ID NO: 9)

REPLACEMENT SHEET

6/29

FIG 3B

10. d3 dopamine receptor - human. ACCESSION G01977  
np viyttfnicf rkafikilsc  
Class A (SEQ ID NO: 10)
11. dopamine receptor D4 - human. ACCESSION DYHUD4  
npviyvtv fnaefrnvfr kalracc  
Class A (SEQ ID NO: 11)
12. dopamine receptor D5 - human. ACCESSION DYHUD5  
npviya fnadfakvfa qlgcsfhcs rtpvetvnis nelisynqdi vfhkciaaay ihmmnpnavtp gurevdndce  
egpfdrmfqi yqtspdgdpv acsvweldce geisldkitp fipmgfh  
Class A (SEQ ID NO: 12)
13. muscarinic acetylcholine receptor M1 [Homo sapiens]. ACCESSION NP\_000729  
nrmcyal cnkafirdfr lllcrwdkr rwrkipkrpg svhrtpsrqc  
Class A (SEQ ID NO: 13)
14. muscarinic acetylcholine receptor M2 [Homo sapiens]. ACCESSION NP\_000730  
npacy alcnatfkkt fchllmchyk nigatr  
Class A (SEQ ID NO: 14)
15. muscarinic acetylcholine receptor M3 [Homo sapiens]. ACCESSION NP\_000731  
n pvcyalcnkt frttfkmlll cqedkkkark qqyqqrqsvi fhkrapeqal  
Class A (SEQ ID NO: 15)
16. muscarinic acetylcholine receptor M4 [Homo sapiens]. ACCESSION NP\_000732  
npa cyalcnatfk ktfhlllcq yrnigtar  
Class A (SEQ ID NO: 16)
17. m5 muscarinic receptor, locus HUMACHRM ACCESSION AAA51569  
npicyalcnr tfiktfmll lcrwkkkkve eklywqgnak lp  
Class A (SEQ ID NO: 17)
18. 5-hydroxytryptamine (serotonin) receptor 1A [Homo sapiens]. ACCESSION BAA90449  
npviy ayfinkdfqna fckikckf  
Class A (SEQ ID NO: 18)
19. 5-hydroxytryptamine (serotonin) receptor 1B [Homo sapiens]. ACCESSION BAA94455  
npiiyt msnedfkqaf hklirfkots  
Class A (SEQ ID NO: 19)
20. 5-hydroxytryptamine (serotonin) receptor 1E [Homo sapiens]. ACCESSION BAA94458  
n pllytsfmed fkdafkklir cre  
Class A (SEQ ID NO: 20)

# REPLACEMENT SHEET

7/29

FIG 3C

21. **OLFACTORY RECEPTOR 6A1. ACCESSION O95222**  
npiiyclnq evkralccil hlyqhqdppd kkgamv  
Class A (SEQ ID NO: 21)
22. **OLFACTORY RECEPTOR 2C1. ACCESSION O95371**  
npliy tirnmevkg hrlgkgre vg  
Class A (SEQ ID NO: 22)
23. **angiotensin receptor 1 [Homo sapiens]. ACCESSION NP\_033611**  
npl fyglgkckfk ryflqllkyi ppkakshnl sfkmsfisy psdnvssstk kpapcfeve  
Class B (SEQ ID NO: 23)
24. **angiotensin receptor 2 [Homo sapiens]. ACCESSION NP\_000677**  
npflycf vgnrfqqkdr svfrvpitwl qgkresmscr kssslremet fvs  
Class B (SEQ ID NO: 24)
25. **interleukin 8 receptor beta (CXCR2) [Homo sapiens]. ACCESSION NM\_001557**  
NPLIYAFIGQKFRHGLLKILAIHGLISKDSLKDSRPSFVGSSSGHTSTTL  
Class B (SEQ ID NO: 25)
26. **cx3c chemokine receptor 1 (cx3cr1) (fractalkine receptor)**  
ACCESSION P49238  
np liyafagckf rrylyhlygk clavicgrsv hvdfsssesq rsrhgsvlss nftyhtadgd allil  
Class B (SEQ ID NO: 26)
27. **neurotensin receptor - human. ACCESSION S29506**  
n pilynlvsan fihiflatia clcpvwmmr kpafsrkad svssnhfss natretly  
Class B (SEQ ID NO: 27)
28. **SUBSTANCE-P RECEPTOR (SPR) (NK-1 RECEPTOR) (NK-1R). ACCESSION P25103**  
npiiyccclnd rfilgldhaf rocpfisagd yeglemkstr yltqgsvyk vsrlctfistvvgahcepe dgpkatpssl  
dltsncssrs dskmtesfs fssnvl  
Class B (SEQ ID NO: 28)
29. **vasopressin receptor type 2 [Homo sapiens]. ACCESSION AAD16444**  
npwiyasfss svsselrll ccargtrpps lgpqdescft assslakdts s  
Class B (SEQ ID NO: 29)
30. **thyrotropin-releasing hormone receptor - human. ACCESSION JN0708**  
npviy nlmsqkfraa frklenckqk ptekpanysv alnysvikes dhfstelddi tvtdtylsat kvsfddtola sevsfsqs  
Class B (SEQ ID NO: 30)

# REPLACEMENT SHEET

8/29

FIG 3D

31. **oxytocin receptor - human. ACCESSION A55493**  
npwiyw lfighlfhel vqrflccsas ylkgrlget saskksnass fvlsrshssq rscsqpsta  
Class B (SEQ ID NO: 31)
32. **neuromedin U receptor [Homo sapiens]. ACCESSION AAG24793**  
npvlyslmssrfretfgealcigacchrlprhshshslsmnttgstlcdvgslgswvhplagndgpeaqgetdps  
Class B (SEQ ID NO: 32)
33. **gastrin receptor. ACCESSION AAC37528**  
npivy cfihhrfqa cletcarccp rpprarpral pdedpptpsi aslsrisytt lsdgpg  
Class B (SEQ ID NO: 33)
34. **galanin receptor 3 [Homo sapiens]. ACCESSION 10879541**  
nplv yalasrhfra rfrlwpcgr nrhraral rrvpassgp pgcpgdarps grillagggqg pepregpvhg geaargpe  
Class A (SEQ ID NO: 34)
35. **edg-1 - human. ACCESSION A35300**  
npiiy tltnkenmra firimsccckc psqdsagkfk rpiagmefs rsksdnsshp 361 qkdegdnpet imssgnvnss s  
Class A (SEQ ID NO: 35)
36. **central cannabinoid receptor [Homo sapiens]. ACCESSION NP\_057167**  
npiiyalr skdlrhafis mfpscegtaq pldnsmgdsd clhkhannaa svhraaescl kstvkiaakt msvstdtsac al  
Class A (SEQ ID NO: 36)
37. **delta opioid receptor - human. ACCESSION I38532**  
npvlyaf ldenfkrcfr qlcrkpcgrp dpssfsrpre atarervtac tpsdggpggr aa  
Class A (SEQ ID NO: 37)
38. **proteinase activated receptor 2 (PAR-2) human. ACCESSION P55085**  
dpfvyyfvshdfdhaknallcrsvrtvkqmqltskkharksssysssttvktsy  
Class A (SEQ ID NO: 38)
39. **vasopressive intestinal peptide receptor (VIPR) rat. ACCESSION NM\_012685**  
NGEVQAEELRRKWRRWHLQGVLGWSSKSQHPWGGNGATCSTQVSMMLTRVSPSARR  
SSSFQAEVSLV  
Class B (SEQ ID NO: 39)